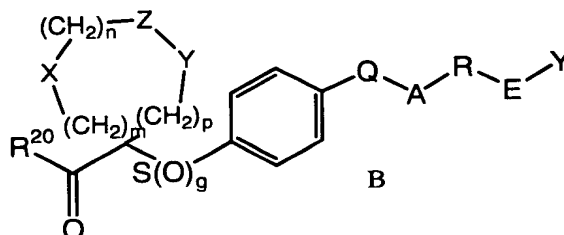


WHAT IS CLAIMED:

1. A process for treating a host mammal having a condition associated with pathological matrix metalloprotease (MMP) activity that comprises administering a metalloprotease inhibitor compound or a pharmaceutically acceptable salt thereof in an effective amount to a mammalian host having such a condition, said metalloprotease inhibitor inhibiting the activity of one or more of MMP-2, MMP-9 and MMP-13, while exhibiting substantially less inhibitory activity against MMP-1, said compound corresponding in structure to formula B, below



wherein

R^{20} is $-NH-O-R^{14}$, where R^{14} is hydrido, a pharmaceutically acceptable cation or $C(W)R^{25}$ where W is O or S and R^{25} is selected from the group consisting of an C_1 - C_6 -alkyl, aryl, C_1 - C_6 -alkoxy, heteroaryl- C_1 - C_6 -alkyl, C_3 - C_8 -cycloalkyl- C_1 - C_6 -alkyl, aryloxy, ar- C_1 - C_6 -alkoxy, ar- C_1 - C_6 -alkyl, heteroaryl and amino C_1 - C_6 -alkyl group wherein the amino C_1 - C_6 -alkyl nitrogen is (i) unsubstituted or (ii) substituted with one or two substituents independently selected from the group consisting of

an C_1-C_6 -alkyl, aryl, ar- C_1-C_6 -alkyl, C_3-C_8 -
cycloalkyl- C_1-C_6 -alkyl, ar- C_1-C_6 -alkoxycarbonyl, C_1 -
 C_6 -alkoxycarbonyl, and C_1-C_6 -alkanoyl radical, or
(iii) wherein the amino C_1-C_6 -alkyl nitrogen and two
5 substituents attached thereto form a 5- to 8-membered
heterocyclo or heteroaryl ring;

g is 2;

m is zero, 1 or 2;

n is zero, 1 or 2;

10 p is zero, 1 or 2;

the sum of $m + n + p = 1, 2, 3$ or 4;

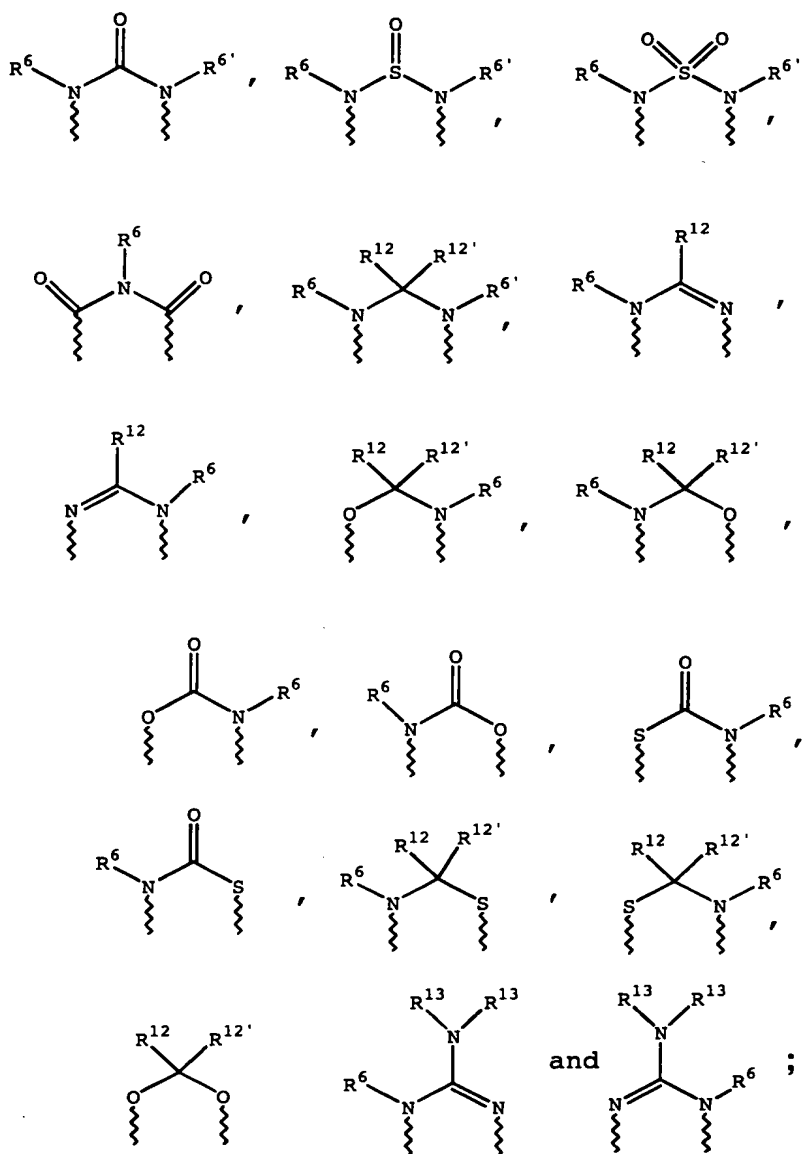
(a) one of X, Y and Z is selected from the
group consisting of $C(O)$, NR^6 , O, S, $S(O)$, $S(O)_2$ and
 $NS(O)_2R^7$, and the remaining two of X, Y and Z are

15 CR^8R^9 , and $CR^{10}R^{11}$, or

(b) X and Z or Z and Y together constitute
a moiety that is selected from the group consisting
of $NR^6C(O)$, $NR^6S(O)$, $NR^6S(O)_2$, NR^6S , NR^6O , SS, NR^6NR^6
and $OC(O)$, with the remaining one of X, Y and Z being

20 CR^8R^9 , or

(c) n is zero and X, Y and Z together
constitute a moiety selected from the group
consisting of



5 wherein wavy lines are bonds to the atoms of the depicted ring;

R^6 and $R^{6'}$ are independently selected from the group consisting of hydrido, formyl, sulfonic- C_1 - C_6 -alkyl, C_1 - C_6 -alkoxycarbonyl- C_1 - C_6 -alkyl, hydroxycarbonyl- C_1 - C_6 -alkyl, C_1 - C_6 -alkylcarbonyl- C_1 - C_6 -alkyl, R^8R^9 -aminocarbonyl- C_1 - C_6 -alkyl, C_1 - C_6 -

10

- alkoxycarbonyl-C₁-C₆-alkylcarbonyl, hydroxycarbonyl-C₁-C₆-alkylcarbonyl, C₁-C₆-alkylcarbonyl-C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonylcarbonyl, hydroxycarbonylcarbonyl, C₁-C₆-alkylcarbonylcarbonyl,
- 5 R⁸R⁹-aminocarbonylcarbonyl, C₁-C₆-alkanoyl, aryl-C₁-C₆-alkyl, aroyl, bis(C₁-C₆-alkoxy-C₁-C₆-alkyl)-C₁-C₆-alkyl, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-perfluoroalkyl, C₁-C₆-trifluoromethylalkyl, C₁-C₆-perfluoroalkoxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-
- 10 alkyl, C₃-C₆-cycloalkyl, heteroarycarbonyl, heterocyclocarbonyl, C₃-C₈-heterocycloalkyl, C₃-C₈-heterocycloalkylcarbonyl, aryl, C₅-C₆-heterocyclo, C₅-C₆-heteroaryl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, aryloxy-C₁-C₆-alkyl, heteroaryloxy-C₁-C₆-alkyl,
- 15 heteroaryl-C₁-C₆-alkoxy-C₁-C₆-alkyl, heteroarylthio-C₁-C₆-alkyl, arylsulfonyl, C₁-C₆-alkylsulfonyl, C₅-C₆-heteroarylsulfonyl, carboxy-C₁-C₆-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₆-alkyl, aminocarbonyl, C₁-C₆-alkyl(R⁸N)iminocarbonyl, aryl(R⁸N)iminocarbonyl, C₅-
- 20 C₆-heterocyclo(R⁸N)iminocarbonyl, arylthio-C₁-C₆-alkyl, C₁-C₆-alkylthio-C₁-C₆-alkyl, arylthio-C₃-C₆-alkenyl, C₁-C₄-alkylthio-C₃-C₆-alkenyl, C₅-C₆-heteroaryl-C₁-C₆-alkyl, halo-C₁-C₆-alkanoyl, hydroxy-C₁-C₆-alkanoyl, thiol-C₁-C₆-alkanoyl, C₃-C₆-alkenyl,
- 25 C₃-C₆-alkynyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₅-alkoxycarbonyl, aryloxy carbonyl, NR⁸R⁹-(R⁸)iminomethyl, NR⁸R⁹-C₁-C₅-alkylcarbonyl, hydroxy-

5 alkyl group;

10

15

20

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R¹² and R^{12'} are independently selected from the group consisting of a hydrido, C₁-C₆-alkyl, aryl, ar-C₁-C₆-alkyl, heteroaryl, heteroaralkyl, C₂-C₆-alkynyl, C₂-C₆-alkenyl, thiol-C₁-C₆-alkyl, cycloalkyl, cycloalkyl-C₁-C₆-alkyl, heterocycloalkyl-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, aryloxy-C₁-C₆-alkyl, amino-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkoxy-C₁-C₆-alkyl, hydroxy-C₁-C₆-alkyl, hydroxycarbonyl-C₁-C₆-alkyl, hydroxycarbonylar-C₁-C₆-alkyl, aminocarbonyl-C₁-C₆-alkyl, aryloxy-C₁-C₆-alkyl, heteroaryloxy-C₁-C₆-alkyl, C₁-C₆-alkylthio-C₁-C₆-alkyl, arylthio-C₁-C₆-alkyl, heteroarylthio-C₁-C₆-alkyl, the sulfoxide or sulfone of any said thio substituents, perfluoro-C₁-C₆-alkyl, trifluoromethyl-C₁-C₆-alkyl, halo-C₁-C₆-alkyl, alkoxycarbonylamino-C₁-C₆-alkyl and an amino-C₁-C₆-alkyl group wherein the aminoalkyl nitrogen is (i) unsubstituted or (ii)

substituted with one or two radicals independently selected from the group consisting of C₁-C₆-alkyl, ar-C₁-C₆-alkyl, cycloalkyl and C₁-C₆-alkanoyl;

R¹³ is selected from the group consisting of a hydrido, benzyl, phenyl, C₁-C₆-alkyl, C₂-C₆-alkynyl, C₂-C₆-alkenyl and a C₁-C₆-hydroxyalkyl group;

-Q-A-R-E-Y is a substituent in which the moiety Q is a 5- to 7-membered heterocyclic ring containing one or two nitrogen atoms one of which is bonded the depicted phenyl group, and whose remaining members (A-R-E-Y) are bonded at the 4-position relative to said phenyl-bonded nitrogen atom when Q is a 6- or 7-membered ring and at the 3- or 4-position relative to that nitrogen when Q is a 5-membered ring;

A is selected from the group consisting of

- (1) -O-;
- (2) -S-;
- (3) -NR¹⁷-;
- (4) -CO-N(R¹⁷) or -N(R¹⁷)-CO-, wherein R¹⁷ is hydrogen, C₁-C₄-alkyl, or phenyl;
- (5) -CO-O- or -O-CO-;
- (6) -O-CO-O-;
- (7) -HC=CH-;
- (8) -NH-CO-NH-;
- (9) -C≡C-;
- (10) -NH-CO-O- or -O-CO-NH-;
- (11) -N=N-;
- (12) -NH-NH-; and

phenyl; or

5

consisting of alkyl, alkoxyalkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, aralkyl, heteroaralkyl, heterocycloalkylalkyl, cycloalkylalkyl,

10

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(1) $-\text{CO}(\text{R}^{19})-$ or $-(\text{R}^{19})\text{CO}-$, wherein R^{19} is a heterocycloalkyl, or a cycloalkyl group;

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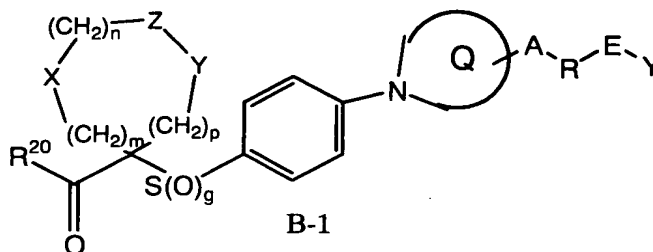
(3) $-CO-$;

- (4) $-\text{SO}_2-\text{R}^{19}-$ or $-\text{R}^{19}-\text{SO}_2-$;
(5) $-\text{SO}_2-$;
(6) $-\text{NH}-\text{SO}_2-$ or $-\text{SO}_2-\text{NH}-$;
(7) $-\text{S}-$;
5 (8) $-\text{NH}-\text{CO}-\text{O}-$ or $-\text{O}-\text{CO}-\text{NH}-$; or
(9) E is absent and R is bonded directly
to Y; and

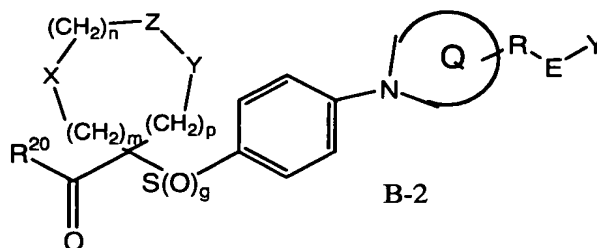
the moiety Y is absent or is selected from
the group consisting of a hydrido, alkyl, alkoxy,
10 haloalkyl, aryl, aralkyl, cycloalkyl, heteroaryl,
hydroxy, aryloxy, aralkoxy, heteroaryloxy,
heteroaralkyl, perfluoroalkoxy, perfluoroalkylthio,
trifluoromethylalkyl, alkenyl, heterocycloalkyl,
cycloalkyl, trifluoromethyl, alkoxycarbonyl, and a
15 aminoalkyl group, wherein the aryl, heteroaryl,
aralkyl or heterocycloalkyl group is (i)
unsubstituted or (ii) substituted with one or two
radicals independently selected from the group
consisting of an alkanoyl, halo, nitro, aralkyl,
20 aryl, alkoxy, trifluoroalkyl, trifluoroalkoxy and an
amino group wherein the amino nitrogen is (i)
unsubstituted or (ii) substituted with one or two
groups independently selected from hydrido, alkyl,
and an aralkyl group.

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2. The process according to claim 1
wherein said compound corresponds in structure to
formula B-1



3. The process according to claim 1
 wherein said compound corresponds in structure to
 5 formula B-2



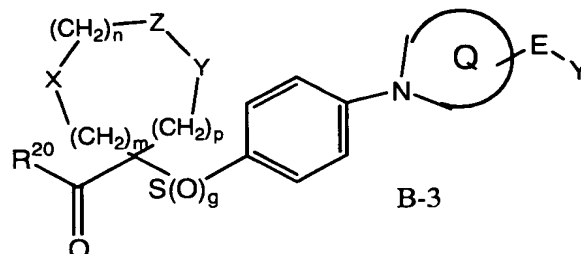
4. The process according to claim 1
 10 wherein the sum of $m + n + p = 1$ or 2 .

5. The process according to claim 1
 wherein said compound or salt is administered a
 plurality of times.

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6. A process for treating a host mammal
 having a condition associated with pathological
 matrix metalloprotease (MMP) activity that comprises
 administering a metalloprotease inhibitor compound or
 20 a pharmaceutically acceptable salt thereof in an
 effective amount to a mammalian host having such a
 condition, said metalloprotease inhibitor inhibiting
 the activity of one or more of MMP-2, MMP-9 and MMP-
 13, while exhibiting substantially less inhibitory

activity against MMP-1, said compound corresponding in structure to formula B-3, below



5

wherein

R^{20} is $-NH-O-R^{14}$, where R^{14} is hydrido, a pharmaceutically acceptable cation or $C(W)R^{25}$ where W is O or S and R^{25} is selected from the group consisting of an C_1 - C_6 -alkyl, aryl, C_1 - C_6 -alkoxy, heteroaryl- C_1 - C_6 -alkyl, C_3 - C_8 -cycloalkyl- C_1 - C_6 -alkyl, aryloxy, ar- C_1 - C_6 -alkoxy, ar- C_1 - C_6 -alkyl, heteroaryl and amino C_1 - C_6 -alkyl group wherein the amino C_1 - C_6 -alkyl nitrogen is (i) unsubstituted or (ii) substituted with one or two substituents independently selected from the group consisting of an C_1 - C_6 -alkyl, aryl, ar- C_1 - C_6 -alkyl, C_3 - C_8 -cycloalkyl- C_1 - C_6 -alkyl, ar- C_1 - C_6 -alkoxycarbonyl, C_1 - C_6 -alkoxycarbonyl, and C_1 - C_6 -alkanoyl radical, or (iii) wherein the amino C_1 - C_6 -alkyl nitrogen and two substituents attached thereto form a 5- to 8-membered heterocyclo or heteroaryl ring;

g is 2;
 m is zero, 1 or 2;
 n is zero, 1 or 2;
 p is zero, 1 or 2;

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(a) one of X, Y and Z is selected from the

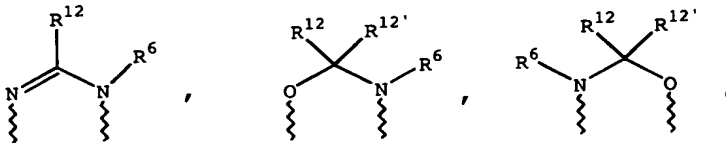
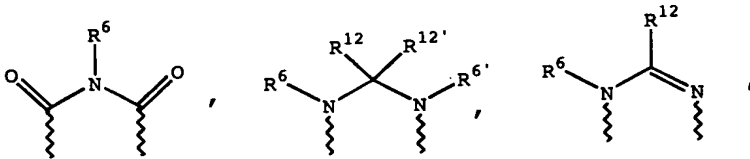
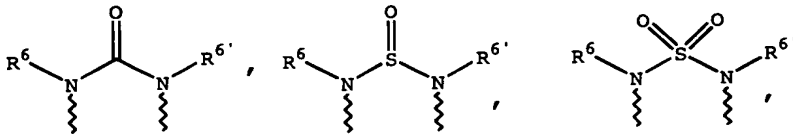
NS(O)₂R⁷, and the remaining two of X, Y and Z are

5 CR^8R^9 , and $CR^{10}R^{11}$, or

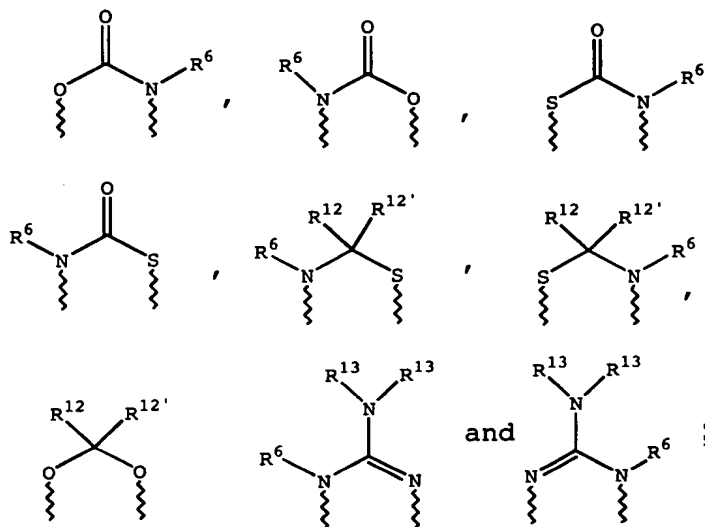
(b) X and Z or Z and Y together constitute a moiety that is selected from the group consisting of $\text{NR}^6\text{C}(\text{O})$, $\text{NR}^6\text{S}(\text{O})$, $\text{NR}^6\text{S}(\text{O})_2$, NR^6S , NR^6O , SS , NR^6NR^6 and $\text{OC}(\text{O})$, with the remaining one of X, Y and Z being

10 CR⁸R⁹, or

(c) n is zero and X, Y and Z together constitute a moiety selected from the group consisting of



15



wherein wavy lines are bonds to the atoms of the depicted ring;

- 5 R⁶ and R^{6'} are independently selected from the group consisting of hydrido, formyl, sulfonic-C₁-C₆-alkyl, C₁-C₆-alkoxycarbonyl-C₁-C₆-alkyl, hydroxycarbonyl-C₁-C₆-alkyl, C₁-C₆-alkylcarbonyl-C₁-C₆-alkyl, R⁸R⁹-aminocarbonyl-C₁-C₆-alkyl, C₁-C₆-alkoxycarbonyl-C₁-C₆-alkylcarbonyl, hydroxycarbonyl-C₁-C₆-alkylcarbonyl, C₁-C₆-alkylcarbonyl-C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonylcarbonyl, hydroxycarbonylcarbonyl, C₁-C₆-alkylcarbonylcarbonyl, R⁸R⁹-aminocarbonylcarbonyl, C₁-C₆-alkanoyl, aryl-C₁-C₆-alkyl, aroyl, bis(C₁-C₆-alkoxy-C₁-C₆-alkyl)-C₁-C₆-alkyl, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-perfluoroalkyl, C₁-C₆-trifluoromethylalkyl, C₁-C₆-perfluoroalkoxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, C₃-C₆-cycloalkyl, heteroarycarbonyl,
- 10
- 15

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5 heteroaryl-C₁-C₆-alkoxy-C₁-C₆-alkyl, heteroarylthio-
C₁-C₆-alkyl, arylsulfonyl, C₁-C₆-alkylsulfonyl, C₅-
C₆-heteroarylsulfonyl, carboxy-C₁-C₆-alkyl, C₁-C₄-
alkoxycarbonyl-C₁-C₆-alkyl, aminocarbonyl, C₁-C₆-
alkyl(R⁸N)iminocarbonyl, aryl(R⁸N)iminocarbonyl, C₅-
10 C₆-heterocyclo(R⁸N)iminocarbonyl, arylthio-C₁-C₆-
alkyl, C₁-C₆-alkylthio-C₁-C₆-alkyl, arylthio-C₃-C₆-
alkenyl, C₁-C₄-alkylthio-C₃-C₆-alkenyl, C₅-C₆-
heteroaryl-C₁-C₆-alkyl, halo-C₁-C₆-alkanoyl, hydroxy-
C₁-C₆-alkanoyl, thiol-C₁-C₆-alkanoyl, C₃-C₆-alkenyl,
15 C₃-C₆-alkynyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₅-
alkoxycarbonyl, aryloxycarbonyl, NR⁸R⁹-
(R⁸)iminomethyl, NR⁸R⁹-C₁-C₅-alkylcarbonyl, hydroxy-
C₁-C₅-alkyl, R⁸R⁹-aminocarbonyl, R⁸R⁹-aminocarbonyl-
C₁-C₆-alkylcarbonyl, hydroxyaminocarbonyl, R⁸R⁹-
20 aminosulfonyl, R⁸R⁹-aminosulfon-C₁-C₆-alkyl, R⁸R⁹-
amino-C₁-C₆-alkylsulfonyl and an R⁸R⁹-amino-C₁-C₆-
alkyl group;

25 alkyl, C₃-C₆-alkynyl, C₃-C₆-alkenyl, C₁-C₆-
carboxyalkyl and a C₁-C₆-hydroxyalkyl group;

- R^8 and R^9 and R^{10} and R^{11} are independently selected from the group consisting of a hydrido, hydroxy, C_1 - C_6 -alkyl, C_1 - C_6 -alkanoyl, aroyl, aryl, ar- C_1 - C_6 -alkyl, heteroaryl, heteroar- C_1 - C_6 -alkyl, C_2 - C_6 -alkynyl, C_2 - C_6 -alkenyl, thiol- C_1 - C_6 -alkyl, C_1 - C_6 -alkylthio- C_1 - C_6 -alkyl, cycloalkyl, cycloalkyl- C_1 - C_6 -alkyl, heterocycloalkyl- C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl, aralkoxy- C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy- C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl, hydroxy- C_1 - C_6 -alkyl, hydroxycarbonyl- C_1 - C_6 -alkyl, hydroxycarbonylar- C_1 - C_6 -alkyl, aminocarbonyl- C_1 - C_6 -alkyl, aryloxy- C_1 - C_6 -alkyl, heteroaryloxy- C_1 - C_6 -alkyl, arylthio- C_1 - C_6 -alkyl, heteroarylthio- C_1 - C_6 -alkyl, the sulfoxide or sulfone of any said thio substituents, perfluoro- C_1 - C_6 -alkyl, trifluoromethyl- C_1 - C_6 -alkyl, halo- C_1 - C_6 -alkyl, alkoxycarbonylamino- C_1 - C_6 -alkyl and an amino- C_1 - C_6 -alkyl group wherein the aminoalkyl nitrogen is (i) unsubstituted or (ii) substituted with one or two radicals independently selected from the group consisting of C_1 - C_6 -alkyl, ar- C_1 - C_6 -alkyl, cycloalkyl and C_1 - C_6 -alkanoyl, or wherein R^8 and R^9 or R^{10} and R^{11} and the carbon to which they are bonded form a carbonyl group, or wherein R^8 and R^9 or R^{10} and R^{11} , or R^8 and R^{10} together with the atoms to which they are bonded form a 5- to 8-membered carbocyclic ring, or a 5- to 8-membered heterocyclic or heteroaryl ring containing one or two heteroatoms that are nitrogen,

oxygen, or sulfur, with the proviso that only one of R^8 and R^9 or R^{10} and R^{11} is hydroxy;

R^{12} and $R^{12'}$ are independently selected from the group consisting of a hydrido, C_1-C_6 -alkyl, aryl, ar- C_1-C_6 -alkyl, heteroaryl, heteroaralkyl, C_2-C_6 -alkynyl, C_2-C_6 -alkenyl, thiol- C_1-C_6 -alkyl, cycloalkyl, cycloalkyl- C_1-C_6 -alkyl, heterocycloalkyl- C_1-C_6 -alkyl, C_1-C_6 -alkoxy- C_1-C_6 -alkyl, aryloxy- C_1-C_6 -alkyl, amino- C_1-C_6 -alkyl, C_1-C_6 -alkoxy- C_1-C_6 -alkoxy- C_1-C_6 -alkyl, hydroxy- C_1-C_6 -alkyl, hydroxycarbonyl- C_1-C_6 -alkyl, hydroxycarbonylar- C_1-C_6 -alkyl, aminocarbonyl- C_1-C_6 -alkyl, aryloxy- C_1-C_6 -alkyl, heteroaryloxy- C_1-C_6 -alkyl, C_1-C_6 -alkylthio- C_1-C_6 -alkyl, arylthio- C_1-C_6 -alkyl, heteroarylthio- C_1-C_6 -alkyl, the sulfoxide or sulfone of any said thio substituents, perfluoro- C_1-C_6 -alkyl, trifluoromethyl- C_1-C_6 -alkyl, halo- C_1-C_6 -alkyl, alkoxycarbonylamino- C_1-C_6 -alkyl and an amino- C_1-C_6 -alkyl group wherein the aminoalkyl nitrogen is (i) unsubstituted or (ii) substituted with one or two radicals independently selected from the group consisting of C_1-C_6 -alkyl, ar- C_1-C_6 -alkyl, cycloalkyl and C_1-C_6 -alkanoyl;

R^{13} is selected from the group consisting of a hydrido, benzyl, phenyl, C_1-C_6 -alkyl, C_2-C_6 -alkynyl, C_2-C_6 -alkenyl and a C_1-C_6 -hydroxyalkyl group;

Q is a 5- to 7-membered heterocyclic ring containing one or two nitrogen atoms one of which is bonded the depicted phenyl group, and whose remaining

members (A-R-E-Y) are bonded at the 4-position relative to said phenyl-bonded nitrogen atom when Q is a 6- or 7-membered ring and at the 3- or 4-position relative to that nitrogen when Q is a 5-membered ring;

the moiety E is selected from the group consisting of

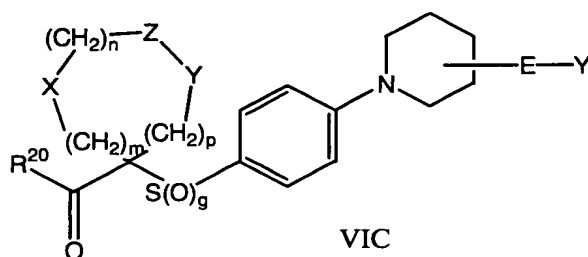
- (1) $-\text{CO}(\text{R}^{19})-$ or $-(\text{R}^{19})\text{CO}-$, wherein R^{19} is a heterocycloalkyl, or a cycloalkyl group;
- (2) $-\text{CONH}-$ or $-\text{HNCO}-$; and
- (3) $-\text{CO}-$;
- (4) $-\text{SO}_2-\text{R}^{19}-$ or $-\text{R}^{19}-\text{SO}_2-$;
- (5) $-\text{SO}_2-$;
- (6) $-\text{NH}-\text{SO}_2-$ or $-\text{SO}_2-\text{NH}-$;
- (7) $-\text{S}-$;
- (8) $-\text{NH}-\text{CO}-\text{O}-$ or $-\text{O}-\text{CO}-\text{NH}-$; or
- (9) E is absent and Y is bonded directly to the Q ring; and

the moiety Y is absent or is selected from the group consisting of a hydrido, alkyl, alkoxy, haloalkyl, aryl, aralkyl, cycloalkyl, heteroaryl, hydroxy, aryloxy, aralkoxy, heteroaryloxy, heteroaralkyl, perfluoroalkoxy, perfluoroalkylthio, trifluoromethylalkyl, alkenyl, heterocycloalkyl, cycloalkyl, trifluoromethyl, alkoxycarbonyl, and an aminoalkyl group, wherein the aryl, heteroaryl, aralkyl or heterocycloalkyl group is (i) unsubstituted or (ii) substituted with one or two radicals independently selected from the group consisting of an alkanoyl, halo, nitro, aralkyl, aryl, alkoxy, trifluoroalkyl, trifluoroalkoxy and an

amino group wherein the amino nitrogen is (i) unsubstituted or (ii) substituted with one or two groups independently selected from hydrido, alkyl, and an aralkyl group.

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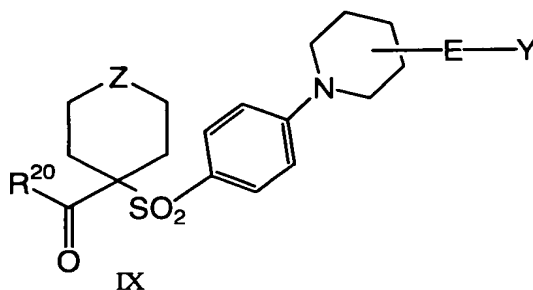
7. The process according to claim 6 wherein said compound corresponds in structure to formula VIC



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8. The process according to claim 6 wherein the sum of $m + n + p = 1$.

9. The process according to claim 6 wherein said compound corresponds in structure to formula IX



wherein Z is selected group the group consisting of O, S, NR^6 , SO, SO_2 , and NSO_2R^7 , and R^6 and R^7 are defined before.

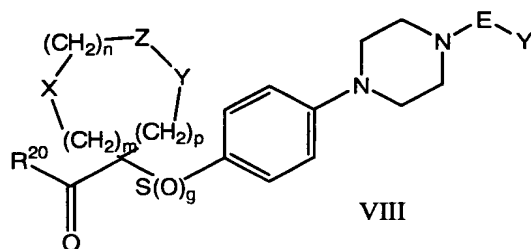
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10. The process according to claim 9
wherein Z is NR⁶.

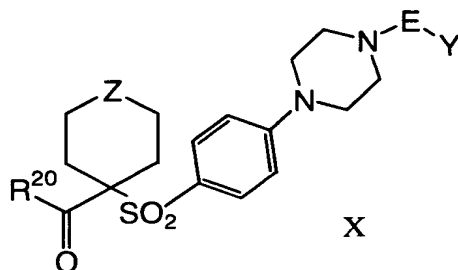
11. The process according to claim 10
5 wherein Z is O.

12. The process according to claim 6
wherein said compound corresponds in structure to
formula VIII

10



13. The process according to claim 6
wherein said compound corresponds in structure to
15 formula X



wherein Z is selected group the group consisting
of O, S, NR⁶, SO, SO₂, and NSO₂R⁷, and R⁶ and R⁷ are
defined before.

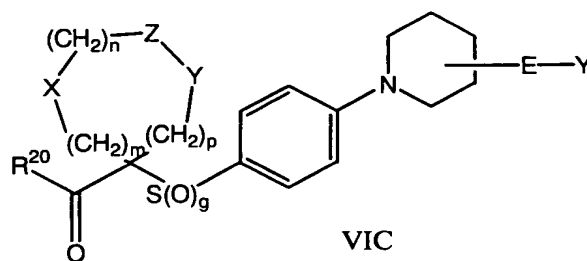
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14. The process according to claim 13
wherein Z is NR⁶.

15. The process according to claim 13 wherein Z is O.

16. The process according to claim 6 wherein said compound or salt is administered a plurality of times.

17. A process for treating a host mammal having a condition associated with pathological matrix metalloprotease (MMP) activity that comprises administering a metalloprotease inhibitor compound or a pharmaceutically acceptable salt thereof in an effective amount to a mammalian host having such a condition, said metalloprotease inhibitor inhibiting the activity of one or more of MMP-2, MMP-9 and MMP-13, while exhibiting substantially less inhibitory activity against MMP-1, said compound corresponding in structure to formula VIC, below



wherein

R^{20} is $-NH-O-R^{14}$, where R^{14} is hydrido, a pharmaceutically acceptable cation or $C(W)R^{25}$ where W is O or S and R^{25} is selected from the group consisting of an C_1 - C_6 -alkyl, aryl, C_1 - C_6 -alkoxy, heteroaryl- C_1 - C_6 -alkyl, C_3 - C_8 -cycloalkyl- C_1 - C_6 -alkyl,

aryloxy, ar-C₁-C₆-alkoxy, ar-C₁-C₆-alkyl, heteroaryl and amino C₁-C₆-alkyl group wherein the amino C₁-C₆-alkyl nitrogen is (i) unsubstituted or (ii) substituted with one or two substituents

- 5 independently selected from the group consisting of an C₁-C₆-alkyl, aryl, ar-C₁-C₆-alkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, ar-C₁-C₆-alkoxycarbonyl, C₁-C₆-alkoxycarbonyl, and C₁-C₆-alkanoyl radical, or (iii) wherein the amino C₁-C₆-alkyl nitrogen and two
10 substituents attached thereto form a 5- to 8-membered heterocyclo or heteroaryl ring;

g is 2;

m is zero, 1 or 2;

n is zero, 1 or 2;

- 15 p is zero, 1 or 2;

the sum of m + n + p = 1, 2, 3 or 4;

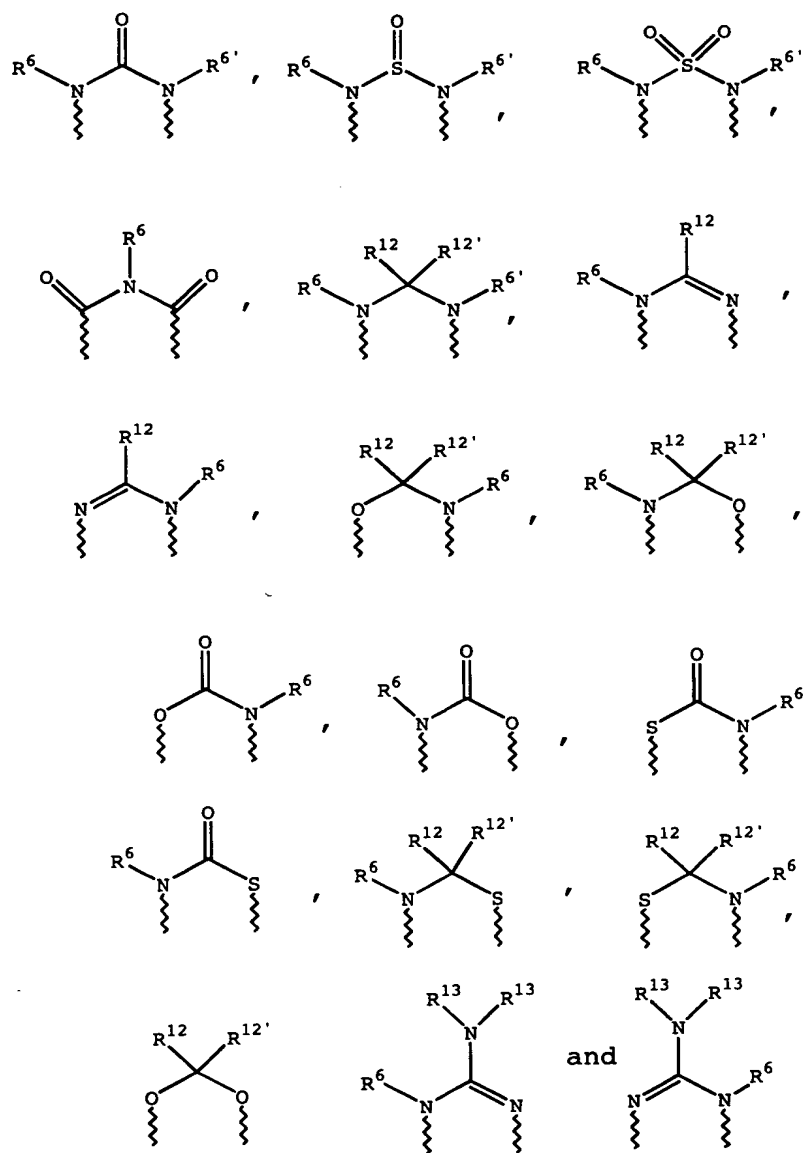
(a) one of X, Y and Z is selected from the group consisting of C(O), NR⁶, O, S, S(O), S(O)₂ and NS(O)₂R⁷, and the remaining two of X, Y and Z are

- 20 CR⁸R⁹, and CR¹⁰R¹¹, or

(b) X and Z or Z and Y together constitute a moiety that is selected from the group consisting of NR⁶C(O), NR⁶S(O), NR⁶S(O)₂, NR⁶S, NR⁶O, SS, NR⁶NR⁶ and OC(O), with the remaining one of X, Y and Z being

- 25 CR⁸R⁹, or

(c) n is zero and X, Y and Z together constitute a moiety selected from the group consisting of



5 wherein wavy lines are bonds to the atoms of the depicted ring;

R^6 and $R^{6'}$ are independently selected from the group consisting of hydrido, formyl, sulfonic- C_1 - C_6 -alkyl, C_1 - C_6 -alkoxycarbonyl- C_1 - C_6 -alkyl, hydroxycarbonyl- C_1 - C_6 -alkyl, C_1 - C_6 -alkylcarbonyl- C_1 - C_6 -alkyl, R^8R^9 -aminocarbonyl- C_1 - C_6 -alkyl, C_1 - C_6 -

10

- alkoxycarbonyl-C₁-C₆-alkylcarbonyl, hydroxycarbonyl-C₁-C₆-alkylcarbonyl, C₁-C₆-alkylcarbonyl-C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonylcarbonyl, hydroxycarbonylcarbonyl, C₁-C₆-alkylcarbonylcarbonyl,
- 5 R⁸R⁹-aminocarbonylcarbonyl, C₁-C₆-alkanoyl, aryl-C₁-C₆-alkyl, aroyl, bis(C₁-C₆-alkoxy-C₁-C₆-alkyl)-C₁-C₆-alkyl, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-perfluoroalkyl, C₁-C₆-trifluoromethylalkyl, C₁-C₆-perfluoroalkoxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-
- 10 alkyl, C₃-C₆-cycloalkyl, heteroarycarbonyl, heterocyclocarbonyl, C₃-C₈-heterocycloalkyl, C₃-C₈-heterocycloalkylcarbonyl, aryl, C₅-C₆-heterocyclo, C₅-C₆-heteroaryl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, aryloxy-C₁-C₆-alkyl, heteroaryloxy-C₁-C₆-alkyl,
- 15 heteroaryl-C₁-C₆-alkoxy-C₁-C₆-alkyl, heteroarylthio-C₁-C₆-alkyl, arylsulfonyl, C₁-C₆-alkylsulfonyl, C₅-C₆-heteroarylsulfonyl, carboxy-C₁-C₆-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₆-alkyl, aminocarbonyl, C₁-C₆-alkyl(R⁸N)iminocarbonyl, aryl(R⁸N)iminocarbonyl, C₅-
- 20 C₆-heterocyclo(R⁸N)iminocarbonyl, arylthio-C₁-C₆-alkyl, C₁-C₆-alkylthio-C₁-C₆-alkyl, arylthio-C₃-C₆-alkenyl, C₁-C₄-alkylthio-C₃-C₆-alkenyl, C₅-C₆-heteroaryl-C₁-C₆-alkyl, halo-C₁-C₆-alkanoyl, hydroxy-C₁-C₆-alkanoyl, thiol-C₁-C₆-alkanoyl, C₃-C₆-alkenyl,
- 25 C₃-C₆-alkynyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₅-alkoxycarbonyl, aryloxycarbonyl, NR⁸R⁹-(R⁸)iminomethyl, NR⁸R⁹-C₁-C₅-alkylcarbonyl, hydroxy-

C₁-C₅-alkyl, R⁸R⁹-aminocarbonyl, R⁸R⁹-aminocarbonyl-
C₁-C₆-alkylcarbonyl, hydroxyaminocarbonyl, R⁸R⁹-
aminosulfonyl, R⁸R⁹-aminosulfon-C₁-C₆-alkyl, R⁸R⁹-
amino-C₁-C₆-alkylsulfonyl and an R⁸R⁹-amino-C₁-C₆-
5 alkyl group;

R⁷ is selected from the group consisting of
a arylalkyl, aryl, heteroaryl, heterocyclo, C₁-C₆-
alkyl, C₃-C₆-alkynyl, C₃-C₆-alkenyl, C₁-C₆-
carboxyalkyl and a C₁-C₆-hydroxyalkyl group;

10 R⁸ and R⁹ and R¹⁰ and R¹¹ are independently
selected from the group consisting of a hydrido,
hydroxy, C₁-C₆-alkyl, C₁-C₆-alkanoyl, aroyl, aryl,
ar-C₁-C₆-alkyl, heteroaryl, heteroar-C₁-C₆-alkyl, C₂-
C₆-alkynyl, C₂-C₆-alkenyl, thiol-C₁-C₆-alkyl, C₁-C₆-
15 alkylthio-C₁-C₆-alkyl, cycloalkyl, cycloalkyl-C₁-C₆-
alkyl, heterocycloalkyl-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-
C₆-alkyl, aralkoxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-
alkoxy-C₁-C₆-alkyl, hydroxy-C₁-C₆-alkyl,
hydroxycarbonyl-C₁-C₆-alkyl, hydroxycarbonylar-C₁-C₆-
20 alkyl, aminocarbonyl-C₁-C₆-alkyl, aryloxy-C₁-C₆-
alkyl, heteroaryloxy-C₁-C₆-alkyl, arylthio-C₁-C₆-
alkyl, heteroarylthio-C₁-C₆-alkyl, the sulfoxide or
sulfone of any said thio substituents, perfluoro-C₁-
C₆-alkyl, trifluoromethyl-C₁-C₆-alkyl, halo-C₁-C₆-
25 alkyl, alkoxycarbonylamino-C₁-C₆-alkyl and an amino-
C₁-C₆-alkyl group wherein the aminoalkyl nitrogen is
(i) unsubstituted or (ii) substituted with one or two

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radicals independently selected from the group consisting of C₁-C₆-alkyl, ar-C₁-C₆-alkyl, cycloalkyl and C₁-C₆-alkanoyl, or wherein R⁸ and R⁹ or R¹⁰ and R¹¹ and the carbon to which they are bonded form a carbonyl group, or wherein R⁸ and R⁹ or R¹⁰ and R¹¹, or R⁸ and R¹⁰ together with the atoms to which they are bonded form a 5- to 8-membered carbocyclic ring, or a 5- to 8-membered heterocyclic or heteroaryl ring containing one or two heteroatoms that are nitrogen, oxygen, or sulfur, with the proviso that only one of R⁸ and R⁹ or R¹⁰ and R¹¹ is hydroxy;

R¹² and R^{12'} are independently selected from the group consisting of a hydrido, C₁-C₆-alkyl, aryl, ar-C₁-C₆-alkyl, heteroaryl, heteroaralkyl, C₂-C₆-alkynyl, C₂-C₆-alkenyl, thiol-C₁-C₆-alkyl, cycloalkyl, cycloalkyl-C₁-C₆-alkyl, heterocycloalkyl-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, aryloxy-C₁-C₆-alkyl, amino-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkoxy-C₁-C₆-alkyl, hydroxy-C₁-C₆-alkyl, hydroxycarbonyl-C₁-C₆-alkyl, hydroxycarbonylar-C₁-C₆-alkyl, aminocarbonyl-C₁-C₆-alkyl, aryloxy-C₁-C₆-alkyl, heteroaryloxy-C₁-C₆-alkyl, C₁-C₆-alkylthio-C₁-C₆-alkyl, arylthio-C₁-C₆-alkyl, heteroarylthio-C₁-C₆-alkyl, the sulfoxide or sulfone of any said thio substituents, perfluoro-C₁-C₆-alkyl, trifluoromethyl-C₁-C₆-alkyl, halo-C₁-C₆-alkyl, alkoxycarbonylamino-C₁-C₆-alkyl and an amino-C₁-C₆-alkyl group wherein the aminoalkyl nitrogen is (i) unsubstituted or (ii)

substituted with one or two radicals independently selected from the group consisting of C₁-C₆-alkyl, ar-C₁-C₆-alkyl, cycloalkyl and C₁-C₆-alkanoyl;

R¹³ is selected from the group consisting of a hydrido, benzyl, phenyl, C₁-C₆-alkyl, C₂-C₆-alkynyl, C₂-C₆-alkenyl and a C₁-C₆-hydroxyalkyl group;

-E-Y is a substituent of whose members, the moiety E is selected from the group consisting of

- (1) -CO(R¹⁹)- or -(R¹⁹)CO-, wherein R¹⁹ is a heterocycloalkyl, or a cycloalkyl group;
- (2) -CONH- or -HNCO-; and
- (3) -CO-;
- (4) -SO₂-R¹⁹- or -R¹⁹-SO₂-;
- (5) -SO₂-;
- (6) -NH-SO₂- or -SO₂-NH-;
- (7) -S-;
- (8) -NH-CO-O- or -O-CO-NH-; or
- (9) E is absent and Y is bonded directly to the depicted Q ring; and

the moiety Y is absent or is selected from the group consisting of a hydrido, alkyl, alkoxy, haloalkyl, aryl, aralkyl, cycloalkyl, heteroaryl, hydroxy, aryloxy, aralkoxy, heteroaryloxy, heteroaralkyl, perfluoroalkoxy, perfluoroalkylthio, trifluoromethylalkyl, alkenyl, heterocycloalkyl, cycloalkyl, trifluoromethyl, alkoxycarbonyl, and a aminoalkyl group, wherein the aryl, heteroaryl, aralkyl or heterocycloalkyl group is (i) unsubstituted or (ii) substituted with one or two

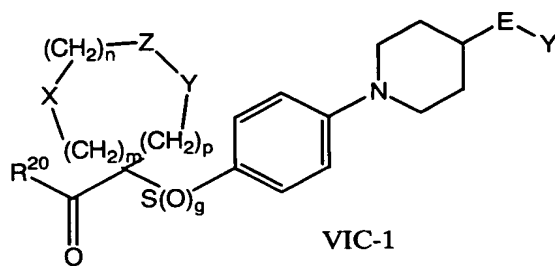
radicals independently selected from the group consisting of an alkanoyl, halo, nitro, aralkyl, aryl, alkoxy, trifluoroalkyl, trifluoroalkoxy and an amino group wherein the amino nitrogen is (i) unsubstituted or (ii) substituted with one or two groups independently selected from hydrido, alkyl, and an aralkyl group.

18. The process according to claim 17 wherein Z is O, S or NR⁶.

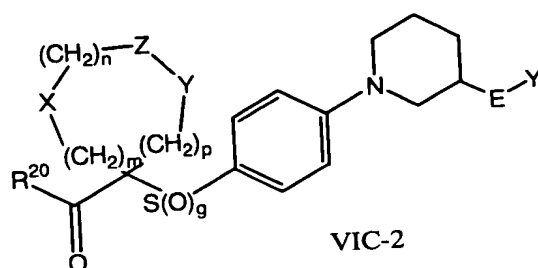
19. The process according to claim 17 wherein m = zero, n = 1, p = 1, and Z is NR⁶.

20. The process according to claim 17 wherein m = zero, n = 1, p = 1, and Z is O.

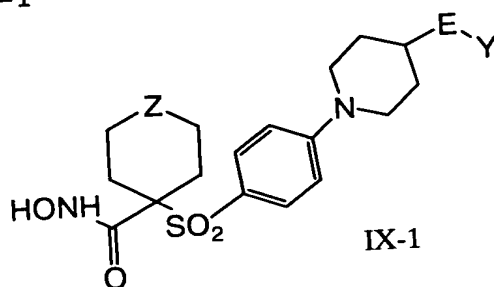
21. The process according to claim 17 wherein said compound corresponds in structure to formula VIC-1



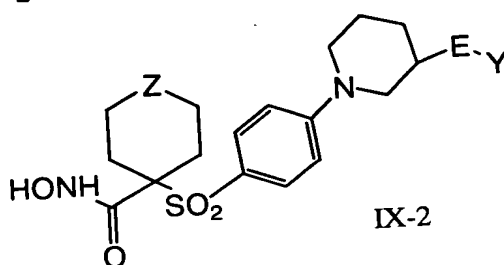
22. The process according to claim 17 wherein said compound corresponds in structure to formula VIC-2



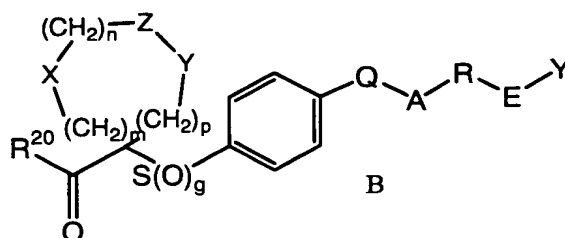
23. The process according to claim 17
 wherein said compound corresponds in structure to
 5 formula IX-1



24. The process according to claim 13
 wherein said compound corresponds in structure to
 10 formula IX-2



25. A compound corresponding in structure
 to formula B, below, or a pharmaceutically acceptable
 15 salt thereof:



wherein

- substituent R^{20} is (a) $-O-R^{21}$, where R^{21} is
 5 selected from the group consisting of a hydrido, C_1 - C_6 -alkyl, aryl, ar- C_1 - C_6 -alkyl group and a
 pharmaceutically acceptable cation, (b) $-NH-O-R^{22}$
 wherein R^{22} is a selectively removable protecting
 group, (c) $-NH-O-R^{14}$, where R^{14} is hydrido, a
 10 pharmaceutically acceptable cation or $C(W)R^{25}$ where W
 is O or S and R^{25} is selected from the group
 consisting of an C_1 - C_6 -alkyl, aryl, C_1 - C_6 -alkoxy,
 heteroaryl- C_1 - C_6 -alkyl, C_3 - C_8 -cycloalkyl- C_1 - C_6 -alkyl,
 aryloxy, ar- C_1 - C_6 -alkoxy, ar- C_1 - C_6 -alkyl, heteroaryl
 15 and amino C_1 - C_6 -alkyl group wherein the amino C_1 - C_6 -
 alkyl nitrogen is (i) unsubstituted or (ii)
 substituted with one or two substituents
 independently selected from the group consisting of
 an C_1 - C_6 -alkyl, aryl, ar- C_1 - C_6 -alkyl, C_3 - C_8 -
 20 cycloalkyl- C_1 - C_6 -alkyl, ar- C_1 - C_6 -alkoxycarbonyl, C_1 -
 C_6 -alkoxycarbonyl, and C_1 - C_6 -alkanoyl radical, or
 (iii) wherein the amino C_1 - C_6 -alkyl nitrogen and two
 substituents attached thereto form a 5- to 8-membered
 heterocyclo or heteroaryl ring, or (d) $-NR^{26}R^{27}$,
 25 where R^{26} and R^{27} are independently selected from the

group consisting of a hydrido, C₁-C₆-alkyl, amino C₁-C₆-alkyl, hydroxy C₁-C₆-alkyl, aryl, ar-C₁-C₆-alkyl group, or R²⁶ and R²⁷ together with the depicted nitrogen atom form a 5- to 8-membered ring containing
5 zero or one additional heteroatom that is oxygen, nitrogen or sulfur;

g is zero, 1 or 2;

m is zero, 1 or 2;

n is zero, 1 or 2;

10 p is zero, 1 or 2;

the sum of m + n + p = 1, 2, 3 or 4;

(a) one of X, Y and Z is selected from the group consisting of C(O), NR⁶, O, S, S(O), S(O)₂ and NS(O)₂R⁷, and the remaining two of X, Y and Z are

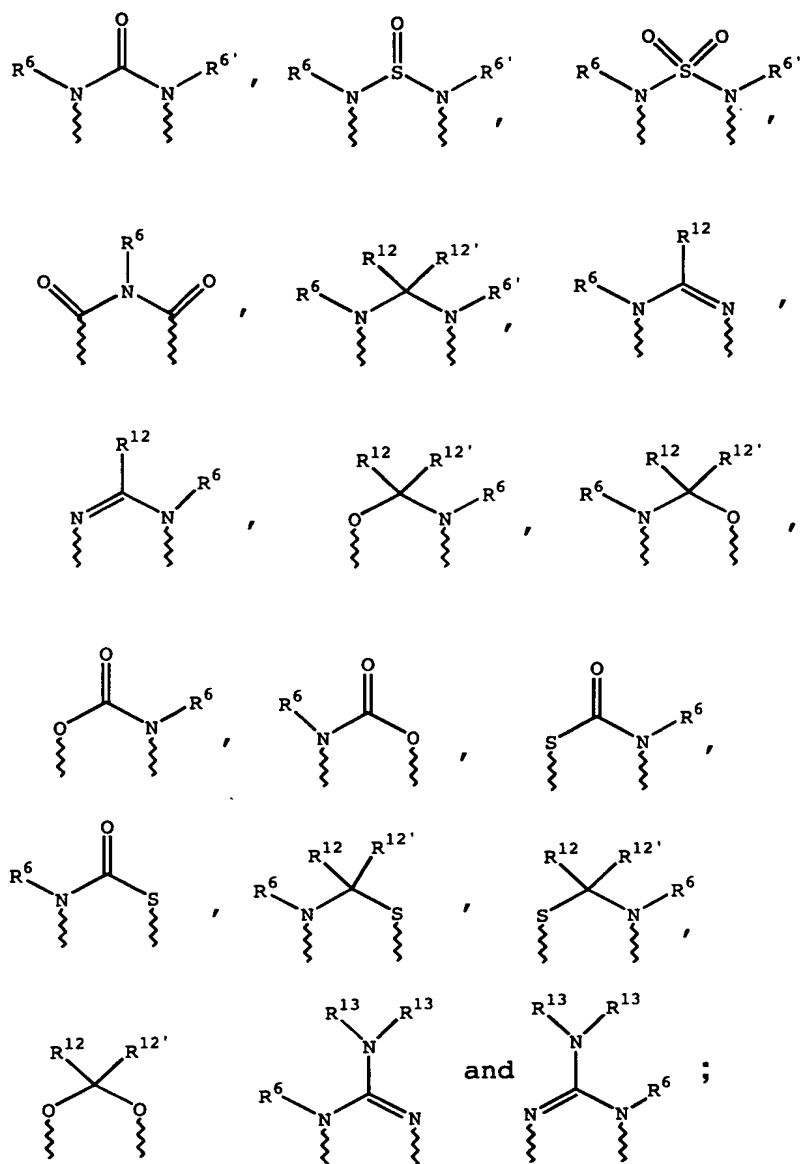
15 CR⁸R⁹, and CR¹⁰R¹¹, or

(b) X and Z or Z and Y together constitute a moiety that is selected from the group consisting of NR⁶C(O), NR⁶S(O), NR⁶S(O)₂, NR⁶S, NR⁶O, SS, NR⁶NR⁶ and OC(O), with the remaining one of X, Y and Z being

20 CR⁸R⁹, or

(c) n is zero and X, Y and Z together constitute a moiety selected from the group consisting of

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5 wherein wavy lines are bonds to the atoms
of the depicted ring;

R^6 and $R^{6'}$ are independently selected from
the group consisting of hydrido, formyl, sulfonic- C_1 - C_6 -alkyl, C_1 - C_6 -alkoxycarbonyl- C_1 - C_6 -alkyl,
10 hydroxycarbonyl- C_1 - C_6 -alkyl, C_1 - C_6 -alkylcarbonyl- C_1 - C_6 -alkyl, R^8R^9 -aminocarbonyl- C_1 - C_6 -alkyl, C_1 - C_6 -

- alkoxycarbonyl-C₁-C₆-alkylcarbonyl, hydroxycarbonyl-C₁-C₆-alkylcarbonyl, C₁-C₆-alkylcarbonyl-C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonylcarbonyl, hydroxycarbonylcarbonyl, C₁-C₆-alkylcarbonylcarbonyl,
- 5 R⁸R⁹-aminocarbonylcarbonyl, C₁-C₆-alkanoyl, aryl-C₁-C₆-alkyl, aroyl, bis(C₁-C₆-alkoxy-C₁-C₆-alkyl)-C₁-C₆-alkyl, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-perfluoroalkyl, C₁-C₆-trifluoromethylalkyl, C₁-C₆-perfluoroalkoxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-
- 10 alkyl, C₃-C₆-cycloalkyl, heteroarycarbonyl, heterocyclocarbonyl, C₃-C₈-heterocycloalkyl, C₃-C₈-heterocycloalkylcarbonyl, aryl, C₅-C₆-heterocyclo, C₅-C₆-heteroaryl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, aryloxy-C₁-C₆-alkyl, heteroaryloxy-C₁-C₆-alkyl,
- 15 heteroaryl-C₁-C₆-alkoxy-C₁-C₆-alkyl, heteroarylthio-C₁-C₆-alkyl, arylsulfonyl, C₁-C₆-alkylsulfonyl, C₅-C₆-heteroarylsulfonyl, carboxy-C₁-C₆-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₆-alkyl, aminocarbonyl, C₁-C₆-alkyl(R⁸N)iminocarbonyl, aryl(R⁸N)iminocarbonyl, C₅-
- 20 C₆-heterocyclo(R⁸N)iminocarbonyl, arylthio-C₁-C₆-alkyl, C₁-C₆-alkylthio-C₁-C₆-alkyl, arylthio-C₃-C₆-alkenyl, C₁-C₄-alkylthio-C₃-C₆-alkenyl, C₅-C₆-heteroaryl-C₁-C₆-alkyl, halo-C₁-C₆-alkanoyl, hydroxy-C₁-C₆-alkanoyl, thiol-C₁-C₆-alkanoyl, C₃-C₆-alkenyl,
- 25 C₃-C₆-alkynyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₅-alkoxycarbonyl, aryloxycarbonyl, NR⁸R⁹-(R⁸)iminomethyl, NR⁸R⁹-C₁-C₅-alkylcarbonyl, hydroxy-

- C₁-C₅-alkyl, R⁸R⁹-aminocarbonyl, R⁸R⁹-aminocarbonyl-C₁-C₆-alkylcarbonyl, hydroxyaminocarbonyl, R⁸R⁹-aminosulfonyl, R⁸R⁹-aminosulfon-C₁-C₆-alkyl, R⁸R⁹-amino-C₁-C₆-alkylsulfonyl and an R⁸R⁹-amino-C₁-C₆-alkyl group;
- R⁷ is selected from the group consisting of a arylalkyl, aryl, heteroaryl, heterocyclo, C₁-C₆-alkyl, C₃-C₆-alkynyl, C₃-C₆-alkenyl, C₁-C₆-carboxyalkyl and a C₁-C₆-hydroxyalkyl group;
- R⁸ and R⁹ and R¹⁰ and R¹¹ are independently selected from the group consisting of a hydrido, hydroxy, C₁-C₆-alkyl, C₁-C₆-alkanoyl, aroyl, aryl, ar-C₁-C₆-alkyl, heteroaryl, heteroar-C₁-C₆-alkyl, C₂-C₆-alkynyl, C₂-C₆-alkenyl, thiol-C₁-C₆-alkyl, C₁-C₆-alkylthio-C₁-C₆-alkyl, cycloalkyl, cycloalkyl-C₁-C₆-alkyl, heterocycloalkyl-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, aralkoxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkoxy-C₁-C₆-alkyl, hydroxy-C₁-C₆-alkyl, hydroxycarbonyl-C₁-C₆-alkyl, hydroxycarbonylar-C₁-C₆-alkyl, aminocarbonyl-C₁-C₆-alkyl, aryloxy-C₁-C₆-alkyl, heteroaryloxy-C₁-C₆-alkyl, arylthio-C₁-C₆-alkyl, heteroarylthio-C₁-C₆-alkyl, the sulfoxide or sulfone of any said thio substituents, perfluoro-C₁-C₆-alkyl, trifluoromethyl-C₁-C₆-alkyl, halo-C₁-C₆-alkyl, alkoxycarbonylamino-C₁-C₆-alkyl and an amino-C₁-C₆-alkyl group wherein the aminoalkyl nitrogen is (i) unsubstituted or (ii) substituted with one or two

radicals independently selected from the group consisting of C₁-C₆-alkyl, ar-C₁-C₆-alkyl, cycloalkyl and C₁-C₆-alkanoyl, or wherein R⁸ and R⁹ or R¹⁰ and R¹¹ and the carbon to which they are bonded form a
5 carbonyl group, or wherein R⁸ and R⁹ or R¹⁰ and R¹¹, or R⁸ and R¹⁰ together with the atoms to which they are bonded form a 5- to 8-membered carbocyclic ring, or a 5- to 8-membered heterocyclic or heteroaryl ring containing one or two heteroatoms that are nitrogen,
10 oxygen, or sulfur, with the proviso that only one of R⁸ and R⁹ or R¹⁰ and R¹¹ is hydroxy;

R¹² and R^{12'} are independently selected from the group consisting of a hydrido, C₁-C₆-alkyl, aryl, ar-C₁-C₆-alkyl, heteroaryl, heteroaralkyl, C₂-
15 C₆-alkynyl, C₂-C₆-alkenyl, thiol-C₁-C₆-alkyl, cycloalkyl, cycloalkyl-C₁-C₆-alkyl, heterocycloalkyl-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, aryloxy-C₁-C₆-alkyl, amino-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkoxy-C₁-C₆-alkyl, hydroxy-C₁-C₆-alkyl, hydroxycarbonyl-C₁-
20 C₆-alkyl, hydroxycarbonylar-C₁-C₆-alkyl, aminocarbonyl-C₁-C₆-alkyl, aryloxy-C₁-C₆-alkyl, heteroaryloxy-C₁-C₆-alkyl, C₁-C₆-alkylthio-C₁-C₆-alkyl, arylthio-C₁-C₆-alkyl, heteroarylthio-C₁-C₆-alkyl, the sulfoxide or sulfone of any said thio
25 substituents, perfluoro-C₁-C₆-alkyl, trifluoromethyl-C₁-C₆-alkyl, halo-C₁-C₆-alkyl, alkoxycarbonylamino-C₁-C₆-alkyl and an amino-C₁-C₆-alkyl group wherein the aminoalkyl nitrogen is (i) unsubstituted or (ii)

substituted with one or two radicals independently selected from the group consisting of C₁-C₆-alkyl, ar-C₁-C₆-alkyl, cycloalkyl and C₁-C₆-alkanoyl;

R¹³ is selected from the group consisting
5 of a hydrido, benzyl, phenyl, C₁-C₆-alkyl, C₂-C₆-alkynyl, C₂-C₆-alkenyl and a C₁-C₆-hydroxyalkyl group; and

-Q-A-R-E-Y is a substituent in which the moiety Q is a 5- to 7-membered heterocyclic ring
10 containing one or two nitrogen atoms one of which is bonded the depicted phenyl group, and whose remaining members (A-R-E-Y) are bonded at the 4-position relative to said phenyl-bonded nitrogen atom when Q is a 6- or 7-membered ring and at the 3- or 4-
15 position relative to that nitrogen when Q is a 5-membered ring;

A is selected from the group consisting of

- (1) -O-;
- (2) -S-;
- 20 (3) -NR¹⁷-;
- (4) -CO-N(R¹⁷) or -N(R¹⁷)-CO-, wherein R¹⁷ is hydrogen, C₁-C₄-alkyl, or phenyl;
- (5) -CO-O- or -O-CO-;
- (6) -O-CO-O-;
- 25 (7) -HC=CH-;
- (8) -NH-CO-NH-;
- (9) -C≡C-;
- (10) -NH-CO-O- or -O-CO-NH-;
- (11) -N=N-;
- 30 (12) -NH-NH-; and

(13) $-\text{CS}-\text{N}(\text{R}^{18})-$ or $-\text{N}(\text{R}^{18})-\text{CS}-$, wherein R^{18} is hydrogen C_1 - C_4 -alkyl, or phenyl; or

5 (14) A is absent and Q is bonded directly to R;

R is a moiety selected from the group consisting of alkyl, alkoxyalkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, aralkyl, heteroaralkyl, heterocycloalkylalkyl, cycloalkylalkyl, 10 cycloalkoxyalkyl, heterocycloalkoxyalkyl, aryloxyalkyl, heteroaryloxyalkyl, arylthioalkyl, heteroarylthioalkyl, cycloalkylthioalkyl, and a heterocycloalkylthioalkyl group wherein the aryl or heteroaryl or cycloalkyl or heterocycloalkyl 15 substituent is (i) unsubstituted or (ii) substituted with one or two radicals selected from the group consisting of a halo, alkyl, perfluoroalkyl, perfluoroalkoxy, perfluoroalkylthio, trifluoromethylalkyl, amino, alkoxycarbonylalkyl, 20 alkoxy, C_1 - C_2 -alkylene-dioxy, hydroxycarbonylalkyl, hydroxycarbonylalkylamino, nitro, hydroxy, hydroxyalkyl, alkanoylamino, and a alkoxycarbonyl group, and R is other than alkyl or alkoxyalkyl when A is $-\text{O}-$ or $-\text{S}-$;

25 the moiety E is selected from the group consisting of

- (1) $-\text{CO}(\text{R}^{19})-$ or $-(\text{R}^{19})\text{CO}-$, wherein R^{19} is a heterocycloalkyl, or a cycloalkyl group;
- 30 (2) $-\text{CONH}-$ or $-\text{HNCO}-$; and
- (3) $-\text{CO}-$;

- (4) $-\text{SO}_2-\text{R}^{19}-$ or $-\text{R}^{19}-\text{SO}_2-$;
(5) $-\text{SO}_2-$;
(6) $-\text{NH}-\text{SO}_2-$ or $-\text{SO}_2-\text{NH}-$;
(7) $-\text{S}-$;
5 (8) $-\text{NH}-\text{CO}-\text{O}-$ or $-\text{O}-\text{CO}-\text{NH}-$; or
(9) E is absent and R is bonded directly
to Y; ; and

the moiety Y is absent or is selected from
the group consisting of a hydrido, alkyl, alkoxy,
10 haloalkyl, aryl, aralkyl, cycloalkyl, heteroaryl,
hydroxy, aryloxy, aralkoxy, heteroaryloxy,
heteroaralkyl, perfluoroalkoxy, perfluoroalkylthio,
trifluoromethylalkyl, alkenyl, heterocycloalkyl,
cycloalkyl, trifluoromethyl, alkoxycarbonyl, and a
15 aminoalkyl group, wherein the aryl, heteroaryl,
aralkyl or heterocycloalkyl group is (i)
unsubstituted or (ii) substituted with one or two
radicals independently selected from the group
consisting of an alkanoyl, halo, nitro, aralkyl,
20 aryl, alkoxy, trifluoroalkyl, trifluoroalkoxy and an
amino group wherein the amino nitrogen is (i)
unsubstituted or (ii) substituted with one or two
groups independently selected from hydrido, alkyl,
and an aralkyl group.

25

26. The compound or salt according to
claim 25 wherein A is $-\text{O}-$ or $-\text{S}-$.

27. The compound or salt according to
30 claim 25 wherein A is absent.

5 29. The compound or salt according to
claim 25 wherein R¹⁴ is hydrido.

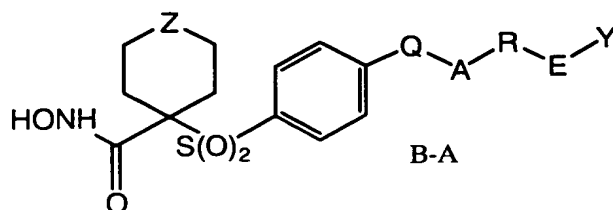
31. The compound or salt according to
15 claim 25 wherein the sum of $m + n + p = 1$ or 2.

20 33. The compound or salt according to
claim 25 wherein the moiety Q is a 5-membered ring.

25

35. The compound or salt according to claim 25 wherein the moiety Q is a 6-membered ring.

36. The compound or salt according to
30 claim 35 wherein said compound corresponds in
structure to formula B-A



wherein Z is selected group the group consisting of O, S, NR^6 , SO, SO_2 , and NSO_2R^7 , and R^6 and R^7 are defined before.

5

37. The compound or salt according to claim 36 wherein Z is NR^6 .

38. The compound or salt according to claim 36 wherein Z is O.

39. The compound or salt according to claim 25 wherein the moiety Q contains two nitrogen atoms in the ring.

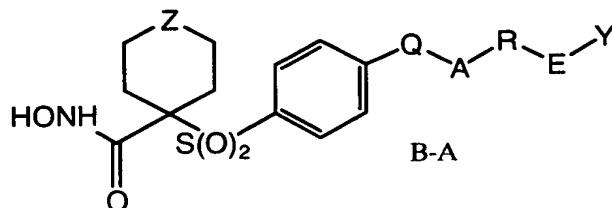
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40. The compound or salt according to claim 25 wherein R^{20} is $-\text{NH}-\text{O}-\text{R}^{22}$.

41. The compound or salt according to claim 25 wherein R^{20} is $-\text{NH}-\text{O}-\text{R}^{14}$.

20

42. A compound corresponding in structure to formula B-A, below, or a pharmaceutically acceptable salt thereof



wherein

Z is selected from the group consisting of
 5 C(O), NR⁶, O, S, S(O), S(O)₂ and NS(O)₂R⁷;

R⁶ is selected from the group consisting of
 hydrido, formyl, sulfonic-C₁-C₆-alkyl, C₁-C₆-
 alkoxy-carbonyl-C₁-C₆-alkyl, hydroxycarbonyl-C₁-C₆-
 alkyl, C₁-C₆-alkyl-carbonyl-C₁-C₆-alkyl, R⁸R⁹-
 10 aminocarbonyl-C₁-C₆-alkyl, C₁-C₆-alkoxy-carbonyl-C₁-
 C₆-alkyl-carbonyl, hydroxycarbonyl-C₁-C₆-
 alkyl-carbonyl, C₁-C₆-alkyl-carbonyl-C₁-C₆-
 alkyl-carbonyl, C₁-C₆-alkoxy-carbonyl-carbonyl,
 hydroxycarbonyl-carbonyl, C₁-C₆-alkyl-carbonyl-carbonyl,
 15 R⁸R⁹-aminocarbonyl-carbonyl, C₁-C₆-alkanoyl, aryl-C₁-
 C₆-alkyl, aroyl, bis(C₁-C₆-alkoxy-C₁-C₆-alkyl)-C₁-C₆-
 alkyl, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-
 perfluoroalkyl, C₁-C₆-trifluoromethylalkyl, C₁-C₆-
 perfluoroalkoxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-
 20 alkyl, C₃-C₆-cycloalkyl, heteroarycarbonyl,
 heterocyclocarbonyl, C₃-C₈-heterocycloalkyl, C₃-C₈-
 heterocycloalkyl-carbonyl, aryl, C₅-C₆-heterocyclo,
 C₅-C₆-heteroaryl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl,
 aryloxy-C₁-C₆-alkyl, heteroaryloxy-C₁-C₆-alkyl,

heteroaryl-C₁-C₆-alkoxy-C₁-C₆-alkyl, heteroarylthio-C₁-C₆-alkyl, arylsulfonyl, C₁-C₆-alkylsulfonyl, C₅-C₆-heteroarylsulfonyl, carboxy-C₁-C₆-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₆-alkyl, aminocarbonyl, C₁-C₆-alkyl(R⁸N)iminocarbonyl, aryl(R⁸N)iminocarbonyl, C₅-C₆-heterocyclo(R⁸N)iminocarbonyl, arylthio-C₁-C₆-alkyl, C₁-C₆-alkylthio-C₁-C₆-alkyl, arylthio-C₃-C₆-alkenyl, C₁-C₄-alkylthio-C₃-C₆-alkenyl, C₅-C₆-heteroaryl-C₁-C₆-alkyl, halo-C₁-C₆-alkanoyl, hydroxy-C₁-C₆-alkanoyl, thiol-C₁-C₆-alkanoyl, C₃-C₆-alkenyl, C₃-C₆-alkynyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₅-alkoxycarbonyl, aryloxycarbonyl, NR⁸R⁹-(R⁸)iminomethyl, NR⁸R⁹-C₁-C₅-alkylcarbonyl, hydroxy-C₁-C₅-alkyl, R⁸R⁹-aminocarbonyl, R⁸R⁹-aminocarbonyl-C₁-C₆-alkylcarbonyl, hydroxyaminocarbonyl, R⁸R⁹-aminosulfonyl, R⁸R⁹-aminosulfon-C₁-C₆-alkyl, R⁸R⁹-amino-C₁-C₆-alkylsulfonyl and an R⁸R⁹-amino-C₁-C₆-alkyl group;

R⁷ is selected from the group consisting of a arylalkyl, aryl, heteroaryl, heterocyclo, C₁-C₆-alkyl, C₃-C₆-alkynyl, C₃-C₆-alkenyl, C₁-C₆-carboxyalkyl and a C₁-C₆-hydroxyalkyl group;

R⁸ and R⁹ are independently selected from the group consisting of a hydrido, hydroxy, C₁-C₆-alkyl, C₁-C₆-alkanoyl, aroyl, aryl, ar-C₁-C₆-alkyl, heteroaryl, heteroar-C₁-C₆-alkyl, C₂-C₆-alkynyl, C₂-

C₆-alkenyl, thiol-C₁-C₆-alkyl, C₁-C₆-alkylthio-C₁-C₆-alkyl, cycloalkyl, cycloalkyl-C₁-C₆-alkyl, heterocycloalkyl-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, aralkoxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkoxy-C₁-C₆-alkyl, hydroxy-C₁-C₆-alkyl, hydroxycarbonyl-C₁-C₆-alkyl, hydroxycarbonylar-C₁-C₆-alkyl, aminocarbonyl-C₁-C₆-alkyl, aryloxy-C₁-C₆-alkyl, heteroaryloxy-C₁-C₆-alkyl, arylthio-C₁-C₆-alkyl, heteroarylthio-C₁-C₆-alkyl, the sulfoxide or sulfone of any said thio substituents, perfluoro-C₁-C₆-alkyl, trifluoromethyl-C₁-C₆-alkyl, halo-C₁-C₆-alkyl, alkoxycarbonylamino-C₁-C₆-alkyl and an amino-C₁-C₆-alkyl group wherein the aminoalkyl nitrogen is (i) unsubstituted or (ii) substituted with one or two radicals independently selected from the group consisting of C₁-C₆-alkyl, ar-C₁-C₆-alkyl, cycloalkyl and C₁-C₆-alkanoyl, or wherein R⁸ and R⁹ and the carbon to which they are bonded form a carbonyl group, or wherein R⁸ and R⁹ together with the atoms to which they are bonded form a 5- to 8-membered carbocyclic ring, or a 5- to 8-membered heterocyclic or heteroaryl ring containing one or two heteroatoms that are nitrogen, oxygen, or sulfur, with the proviso that only one of R⁸ and R⁹ is hydroxy;

25 -Q-A-R-E-Y is a substituent in which the moiety Q is a 6-membered heterocyclic ring containing one or two nitrogen atoms one of which is bonded the depicted phenyl group, and whose remaining members

(A-R-E-Y) are bonded at the 4-position relative to said phenyl-bonded nitrogen;

A is selected from the group consisting of

- 5 (1) -O-;
- (2) -S-;
- (3) -NR¹⁷-;
- (4) -CO-N(R¹⁷) or -N(R¹⁷)-CO-, wherein R¹⁷ is hydrogen, C₁-C₄-alkyl, or phenyl;
- 10 (5) -CO-O- or -O-CO-;
- (6) -O-CO-O-;
- (7) -HC=CH-;
- (8) -NH-CO-NH-;
- (9) -C≡C-;
- (10) -NH-CO-O- or -O-CO-NH-;
- 15 (11) -N=N-;
- (12) -NH-NH-; and
- (13) -CS-N(R¹⁸)- or -N(R¹⁸)-CS-, wherein R¹⁸ is hydrogen C₁-C₄-alkyl, or phenyl; or
- 20 (14) A is absent and Q is bonded directly to R;

R is a moiety selected from the group consisting of alkyl, alkoxyalkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, aralkyl, heteroaralkyl, heterocycloalkylalkyl, cycloalkylalkyl, cycloalkoxyalkyl, heterocycloalkoxyalkyl, aryloxyalkyl, heteroaryloxyalkyl, arylthioalkyl, heteroarylthioalkyl, cycloalkylthioalkyl, and a heterocycloalkylthioalkyl group wherein the aryl or heteroaryl or cycloalkyl or heterocycloalkyl substituent is (i) unsubstituted or (ii) substituted

25

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with one or two radicals selected from the group consisting of a halo, alkyl, perfluoroalkyl, perfluoroalkoxy, perfluoroalkylthio, trifluoromethylalkyl, amino, alkoxycarbonylalkyl, alkoxy, C₁-C₂-alkylene-dioxy, hydroxycarbonylalkyl, hydroxycarbonylalkylamino, nitro, hydroxy, hydroxyalkyl, alkanoylamino, and a alkoxycarbonyl group, and R is other than alkyl or alkoxyalkyl when A is -O- or -S-;

the moiety E is selected from the group consisting of

- (1) -CO(R¹⁹)- or -(R¹⁹)CO-, wherein R¹⁹ is a heterocycloalkyl, or a cycloalkyl group;
- (2) -CONH- or -HNCO-; and
- (3) -CO-;
- (4) -SO₂-R¹⁹- or -R¹⁹-SO₂-;
- (5) -SO₂-;
- (6) -NH-SO₂- or -SO₂-NH-;
- (7) -S-;
- (8) -NH-CO-O- or -O-CO-NH-; or
- (9) E is absent and R is bonded directly to Y; and

the moiety Y is absent or is selected from the group consisting of a hydrido, alkyl, alkoxy, haloalkyl, aryl, aralkyl, cycloalkyl, heteroaryl, hydroxy, aryloxy, aralkoxy, heteroaryloxy, heteroaralkyl, perfluoroalkoxy, perfluoroalkylthio, trifluoromethylalkyl, alkenyl, heterocycloalkyl, cycloalkyl, trifluoromethyl, alkoxycarbonyl, and a aminoalkyl group, wherein the aryl, heteroaryl, aralkyl or heterocycloalkyl group is (i)

unsubstituted or (ii) substituted with one or two radicals independently selected from the group consisting of an alkanoyl, halo, nitro, aralkyl, aryl, alkoxy, trifluoroalkyl, trifluoroalkoxy and an amino group wherein the amino nitrogen is (i) unsubstituted or (ii) substituted with one or two groups independently selected from hydrido, alkyl, and an aralkyl group.

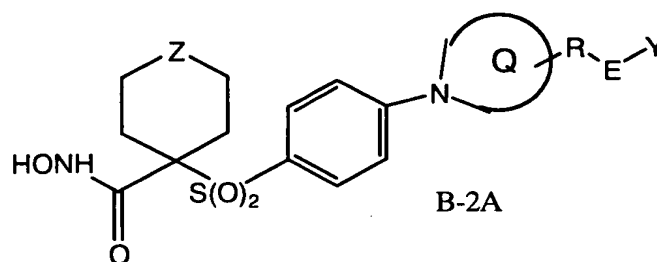
43. The compound or salt according to claim 42 wherein Z is O, S or NR⁶.

44. The compound or salt according to claim 42 wherein Z is NR⁶, and R⁶ is selected from the group consisting of C₃-C₆-cycloalkyl, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, amino-C₁-C₆-alkyl, aminosulfonyl, heteroaryl-C₁-C₆-alkyl, aryloxy-carbonyl, and C₁-C₆-alkoxy-carbonyl.

45. The compound or salt according to claim 42 wherein Z is O.

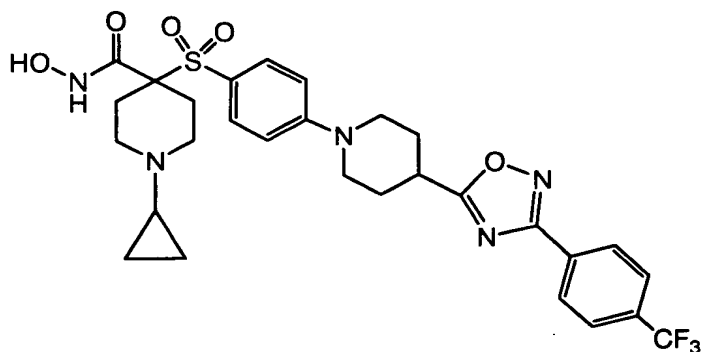
46. The compound or salt according to claim 42 wherein A is absent.

47. The compound or salt according to claim 46 wherein said compound corresponds in structure to formula B-2A



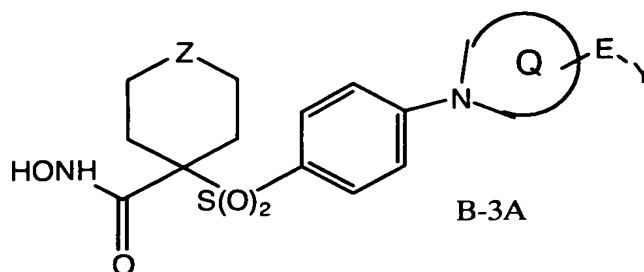
48. The compound or salt according to claim 47 wherein said heterocyclic ring Q contains one nitrogen atom.

49. The compound or salt according to claim 48 wherein said compound corresponds in structure to the formula



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50. A compound corresponding in structure to formula B-3A, below, or a pharmaceutically acceptable salt thereof



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wherein

Z is selected from the group consisting of C(O), NR⁶, O, S, S(O), S(O)₂ and NS(O)₂R⁷;

- R⁶ is selected from the group consisting of hydrido, formyl, sulfonic-C₁-C₆-alkyl, C₁-C₆-alkoxycarbonyl-C₁-C₆-alkyl, hydroxycarbonyl-C₁-C₆-alkyl, C₁-C₆-alkylcarbonyl-C₁-C₆-alkyl, R⁸R⁹-aminocarbonyl-C₁-C₆-alkyl, C₁-C₆-alkoxycarbonyl-C₁-C₆-alkylcarbonyl, hydroxycarbonyl-C₁-C₆-alkylcarbonyl, C₁-C₆-alkylcarbonyl-C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonylcarbonyl, hydroxycarbonylcarbonyl, C₁-C₆-alkylcarbonylcarbonyl, R⁸R⁹-aminocarbonylcarbonyl, C₁-C₆-alkanoyl, aryl-C₁-C₆-alkyl, aroyl, bis(C₁-C₆-alkoxy-C₁-C₆-alkyl)-C₁-C₆-alkyl, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-perfluoroalkyl, C₁-C₆-trifluoromethylalkyl, C₁-C₆-perfluoroalkoxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, C₃-C₆-cycloalkyl, heteroarycarbonyl, heterocyclocarbonyl, C₃-C₈-heterocycloalkyl, C₃-C₈-heterocycloalkylcarbonyl, aryl, C₅-C₆-heterocyclo, C₅-C₆-heteroaryl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, aryloxy-C₁-C₆-alkyl, heteroaryloxy-C₁-C₆-alkyl, heteroaryl-C₁-C₆-alkoxy-C₁-C₆-alkyl, heteroarylthio-C₁-C₆-alkyl, arylsulfonyl, C₁-C₆-alkylsulfonyl, C₅-C₆-heteroarylsulfonyl, carboxy-C₁-C₆-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₆-alkyl, aminocarbonyl, C₁-C₆-alkyl(R⁸N)iminocarbonyl, aryl(R⁸N)iminocarbonyl, C₅-C₆-heterocyclo(R⁸N)iminocarbonyl, arylthio-C₁-C₆-

- alkyl, C₁-C₆-alkylthio-C₁-C₆-alkyl, arylthio-C₃-C₆-alkenyl, C₁-C₄-alkylthio-C₃-C₆-alkenyl, C₅-C₆-heteroaryl-C₁-C₆-alkyl, halo-C₁-C₆-alkanoyl, hydroxy-C₁-C₆-alkanoyl, thiol-C₁-C₆-alkanoyl, C₃-C₆-alkenyl,
- 5 C₃-C₆-alkynyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₅-alkoxycarbonyl, aryloxycarbonyl, NR⁸R⁹-(R⁸)iminomethyl, NR⁸R⁹-C₁-C₅-alkylcarbonyl, hydroxy-C₁-C₅-alkyl, R⁸R⁹-aminocarbonyl, R⁸R⁹-aminocarbonyl-C₁-C₆-alkylcarbonyl, hydroxyaminocarbonyl, R⁸R⁹-aminosulfonyl, R⁸R⁹-aminosulfon-C₁-C₆-alkyl, R⁸R⁹-amino-C₁-C₆-alkylsulfonyl and an R⁸R⁹-amino-C₁-C₆-alkyl group;

- R⁷ is selected from the group consisting of a arylalkyl, aryl, heteroaryl, heterocyclo, C₁-C₆-alkyl, C₃-C₆-alkynyl, C₃-C₆-alkenyl, C₁-C₆-carboxyalkyl and a C₁-C₆-hydroxyalkyl group;
- 15

- R⁸ and R⁹ are independently selected from the group consisting of a hydrido, hydroxy, C₁-C₆-alkyl, C₁-C₆-alkanoyl, aroyl, aryl, ar-C₁-C₆-alkyl, heteroaryl, heteroar-C₁-C₆-alkyl, C₂-C₆-alkynyl, C₂-C₆-alkenyl, thiol-C₁-C₆-alkyl, C₁-C₆-alkylthio-C₁-C₆-alkyl, cycloalkyl, cycloalkyl-C₁-C₆-alkyl, heterocycloalkyl-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, aralkoxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkoxy-C₁-C₆-alkyl, hydroxy-C₁-C₆-alkyl,
- 20
- 25 hydroxycarbonyl-C₁-C₆-alkyl, hydroxycarbonylar-C₁-C₆-alkyl, aminocarbonyl-C₁-C₆-alkyl, aryloxy-C₁-C₆-

alkyl, heteroaryloxy-C₁-C₆-alkyl, arylthio-C₁-C₆-
alkyl, heteroarylthio-C₁-C₆-alkyl, the sulfoxide or
sulfone of any said thio substituents, perfluoro-C₁-
C₆-alkyl, trifluoromethyl-C₁-C₆-alkyl, halo-C₁-C₆-
5 alkyl, alkoxycarbonylamino-C₁-C₆-alkyl and an amino-
C₁-C₆-alkyl group wherein the aminoalkyl nitrogen is
(i) unsubstituted or (ii) substituted with one or two
radicals independently selected from the group
consisting of C₁-C₆-alkyl, ar-C₁-C₆-alkyl, cycloalkyl
10 and C₁-C₆-alkanoyl, or wherein R⁸ and R⁹ and the
carbon to which they are bonded form a carbonyl
group, or wherein R⁸ and R⁹ together with the atoms
to which they are bonded form a 5- to 8-membered
carbocyclic ring, or a 5- to 8-membered heterocyclic
15 or heteroaryl ring containing one or two heteroatoms
that are nitrogen, oxygen, or sulfur, with the
proviso that only one of R⁸ and R⁹ is hydroxy;

-Q-E-Y is a substituent in which the moiety
Q is a 6-membered heterocyclic ring containing one or
20 two nitrogen atoms one of which is bonded the
depicted phenyl group, and whose remaining members
(E-Y) are bonded at the 4-position relative to said
phenyl-bonded nitrogen atom;

in the substituent -E-Y, the moiety E is
25 selected from the group consisting of

- (1) -CO(R¹⁹)- or -(R¹⁹)CO-, wherein R¹⁹ is
a heterocycloalkyl, or a cycloalkyl
group;
- (2) -CONH- or -HNCO-; and
- 30 (3) -CO-;

- (4) $-\text{SO}_2-\text{R}^{19}-$ or $-\text{R}^{19}-\text{SO}_2-$;
(5) $-\text{SO}_2-$;
(6) $-\text{NH}-\text{SO}_2-$ or $-\text{SO}_2-\text{NH}-$;
(7) $-\text{S}-$;
5 (8) $-\text{NH}-\text{CO}-\text{O}-$ or $-\text{O}-\text{CO}-\text{NH}-$; or
(9) E is absent and Y is bonded directly
to the ring Q; and

the moiety Y is absent or is selected from
the group consisting of a hydrido, alkyl, alkoxy,
10 haloalkyl, aryl, aralkyl, cycloalkyl, heteroaryl,
hydroxy, aryloxy, aralkoxy, heteroaryloxy,
heteroaralkyl, perfluoroalkoxy, perfluoroalkylthio,
trifluoromethylalkyl, alkenyl, heterocycloalkyl,
cycloalkyl, trifluoromethyl, alkoxycarbonyl, and a
15 aminoalkyl group, wherein the aryl, heteroaryl,
aralkyl or heterocycloalkyl group is (i)
unsubstituted or (ii) substituted with one or two
radicals independently selected from the group
consisting of an alkanoyl, halo, nitro, aralkyl,
20 aryl, alkoxy, trifluoroalkyl, trifluoroalkoxy and an
amino group wherein the amino nitrogen is (i)
unsubstituted or (ii) substituted with one or two
groups independently selected from hydrido, alkyl,
and an aralkyl group.

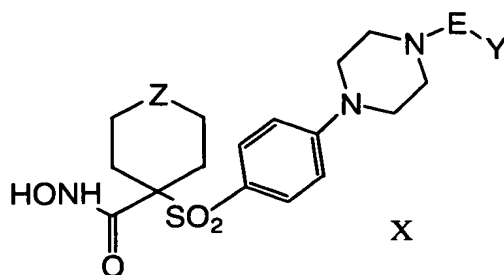
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51. The compound or salt according to
claim 50 wherein said heterocyclic ring Q contains
two nitrogen atoms.

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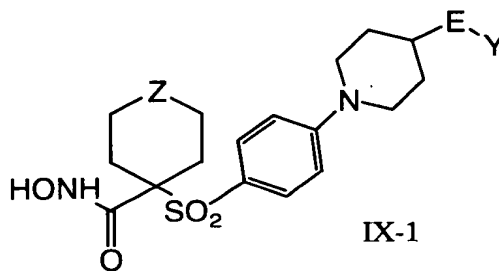
52. The compound or salt according to
claim 51 wherein compound corresponds in structure to
formula X

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000150 1220560



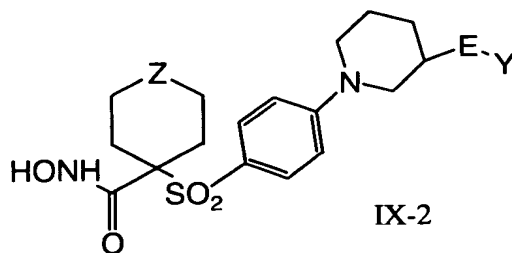
53. The compound or salt according to claim 50 wherein said heterocyclic ring Q contains one nitrogen atom.

54. The compound or salt according to claim 53 wherein said compound corresponds in structure to formula IX-1



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55. The compound or salt according to claim 53 wherein said compound corresponds in structure to formula IX-2

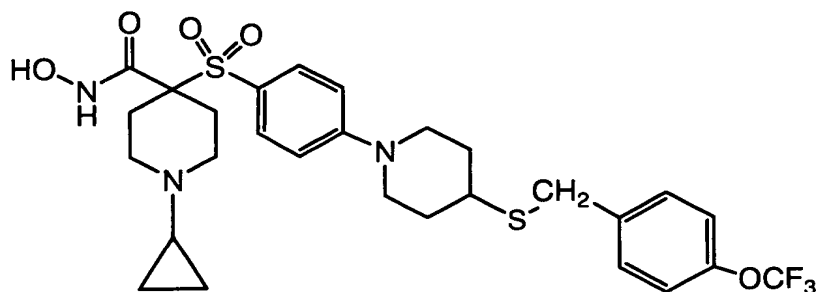


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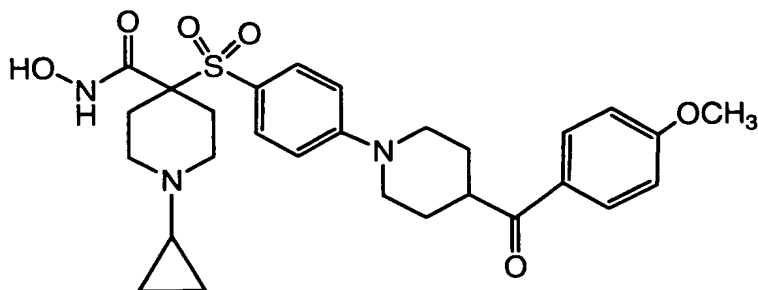
56. The compound or salt according to claim 50 wherein Z is O, S or NR⁶.

57. The compound or salt according to claim 56 wherein Z is NR⁶, and R⁶ is selected from the group consisting of C₃-C₆-cycloalkyl, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, amino-C₁-C₆-alkyl, aminosulfonyl, heteroaryl-C₁-C₆-alkyl, aryloxycarbonyl, and C₁-C₆-alkoxycarbonyl.

58. The compound or salt according to claim 57 wherein said compound corresponds in structure to the formula

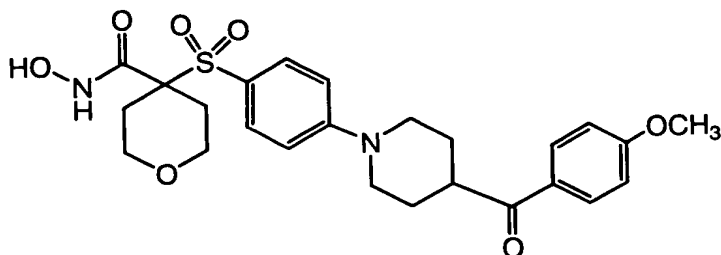


59. The compound or salt according to claim 57 wherein said compound corresponds in structure to the formula



60. The compound or salt according to claim 56 wherein Z is O.

61. The compound or salt according to claim 60 wherein said compound corresponds in structure to the formula



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62. A pharmaceutical composition that comprises a compound or salt according to claim 25 dissolved or dispersed in a pharmaceutically acceptable carrier.

63. A pharmaceutical composition that comprises a compound according to claim 42 dissolved or dispersed in a pharmaceutically acceptable carrier.

64. A pharmaceutical composition that comprises a compound according to claim 47 dissolved or dispersed in a pharmaceutically acceptable carrier.

65. A pharmaceutical composition that comprises a compound according to claim 50 dissolved or dispersed in a pharmaceutically acceptable carrier.

66. A pharmaceutical composition that comprises a compound according to claim 56 dissolved

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or dispersed in a pharmaceutically acceptable carrier.